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L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2002:51420 CAPLUS  
 DOCUMENT NUMBER: 136:102232  
 TITLE: Preparation of 7-substituted tetracycline derivatives for pharmaceutical use as antibacterial agents  
 INVENTOR(S): Nelson, Mark L.; Frechette, Roger; Viski, Peter; Ismail, Mohamed; Bowser, Todd; Bhatia, Beena; Messersmith, David; McIntyre, Laura; Koza, Darrell; Rennie, Glen; Sheahan, Paul; Hawkins, Paul; Verma, Atul; Warchol, Tad; Bandarage, Upul  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA; Paratek Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

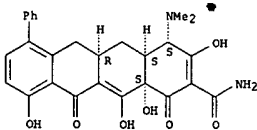
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004407	A2	20020117	WO 2001-US20766	20010629
WO 2002004407	A3	20020404		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TW, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

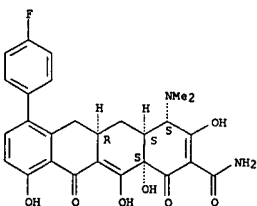
PRIORITY APPLN. INFO.: US 2000-216760P P 20000707  
 US 2001-275576P P 20010313

OTHER SOURCE(S): MARPAT 136:102232  
 AB 7-Substituted tetracycline derivs., such as I [R7 = NO2, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, amino, arylalkenyl, arylalkynyl, aminoalkyl, etc.], were prepd. for therapeutic use as antibacterial agents. Thus, 7-phenylsancycline I (R7 = Ph) was prepd. in 42% yield by arom. coupling reaction of 7-iodosancycline I (R7 = Iodo) with PhB(OH)2 using Pd(OAc)2 and Na2CO3 in MeOH under an argon atm. at r.t. for 2 h. The prepd. tetracycline derivs. were tested for antibacterial activity against *Escherichia coli*, *Enterococcus hirae*, and *Staphylococcus aureus*.  
 IT 263761-01-99 CAPLUS  
 RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of 7-substituted tetracycline derivs. for pharmaceutical use as antibacterial agents)  
 RN 263761-01-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 389625-10-99 CAPLUS  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of 7-substituted tetracycline derivs. for pharmaceutical use as antibacterial agents)  
 RN 263760-96-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

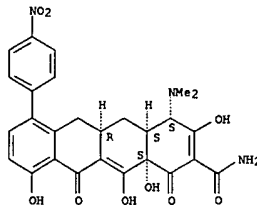


RN 263760-98-1 CAPLUS  
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 Absolute stereochemistry.

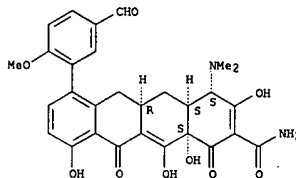


RN 263760-99-2 CAPLUS  
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 Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

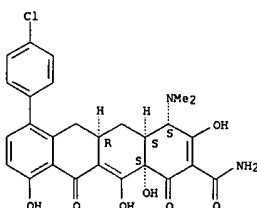


RN 389624-24-2 CAPLUS  
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 Absolute stereochemistry.

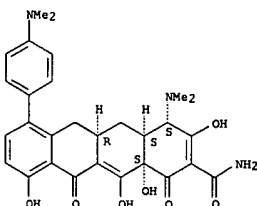


IT 263760-96-99 263760-98-1P 263760-99-2P  
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 389623-72-7P 389623-74-9P 389623-77-2P  
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L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

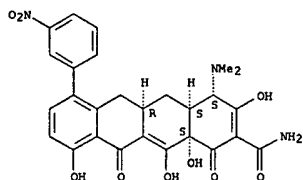


RN 263761-02-0 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



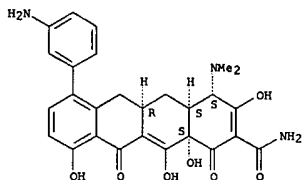
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 Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-63-2 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 7-(3-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

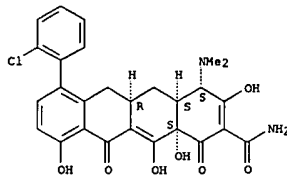
Absolute stereochemistry.



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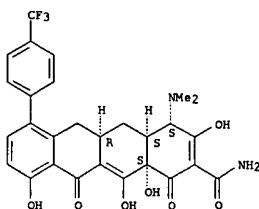
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-76-7 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[(trifluoromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

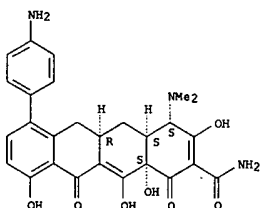
Absolute stereochemistry.



RN 389623-67-0 CAPLUS  
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Absolute stereochemistry.

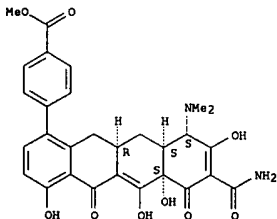
L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

RN 389623-72-7 CAPLUS  
 CN Benzoic acid, 4-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthaceny]-, methyl ester (9CI) (CA INDEX NAME)

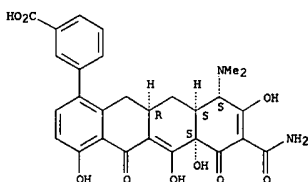
Absolute stereochemistry.



RN 389623-74-9 CAPLUS  
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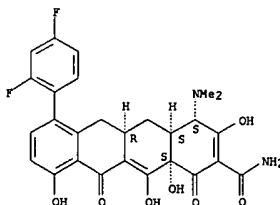
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-77-2 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

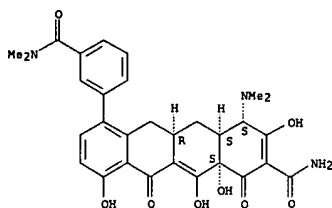
Absolute stereochemistry.



RN 389623-80-7 CAPLUS  
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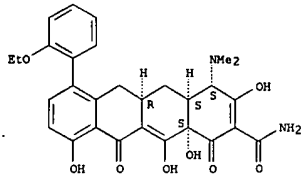
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-82-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(2-ethoxyphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

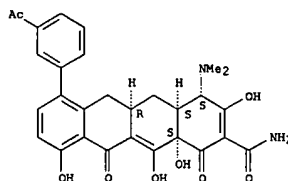
Absolute stereochemistry.



RN 389623-93-2 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-(3-acetylphenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

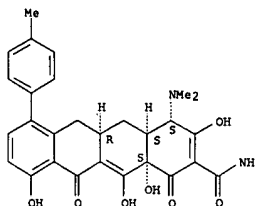
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-95-4 CAPLUS  
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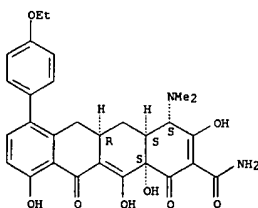
Absolute stereochemistry.



RN 389623-97-6 CAPLUS  
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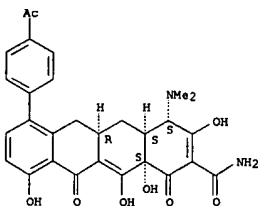
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-03-7 CAPLUS  
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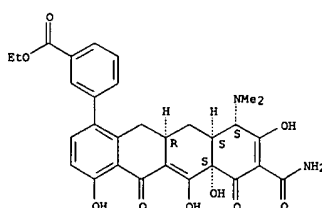
Absolute stereochemistry.



RN 389624-04-8 CAPLUS  
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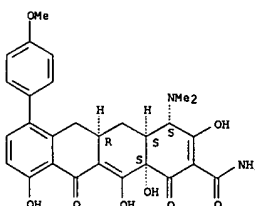
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-05-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-methoxyphenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

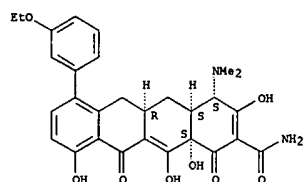
Absolute stereochemistry.



RN 389624-07-1 CAPLUS  
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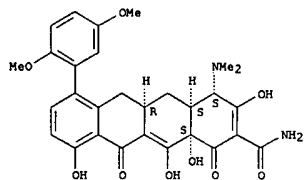
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-12-8 CAPLUS  
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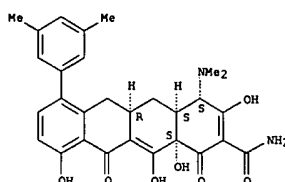
Absolute stereochemistry.



RN 389624-13-9 CAPLUS  
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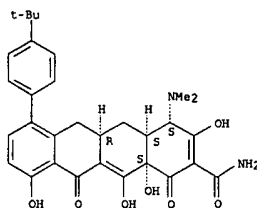
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



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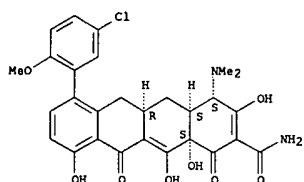
Absolute stereochemistry.



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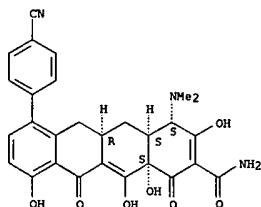
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-23-1 CAPLUS  
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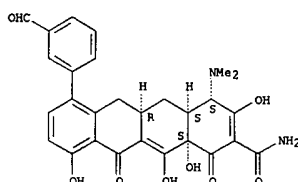
Absolute stereochemistry.



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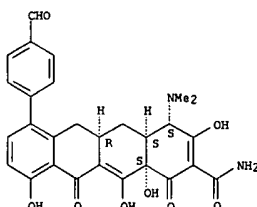
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-29-7 CAPLUS  
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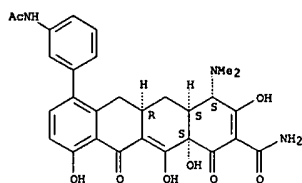
Absolute stereochemistry.



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 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-7-[3-(acetamido)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

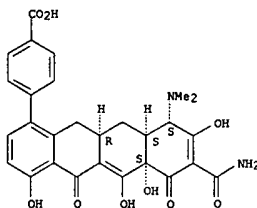
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-45-7 CAPLUS  
 CN Benzoic acid, 4-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]- (9CI) (CA INDEX NAME)

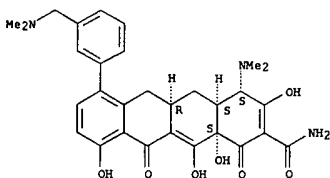
Absolute stereochemistry.



RN 389624-54-8 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-(1-pyrrolidinylmethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

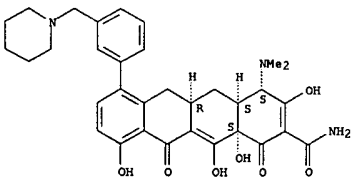
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



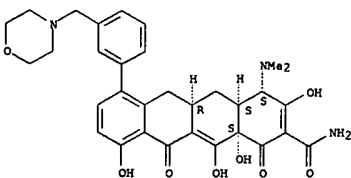
RN 389624-58-2 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-(1-piperidinylmethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



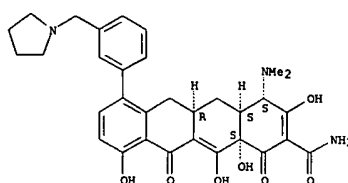
RN 389624-59-3 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-(4-morpholinylmethyl)phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



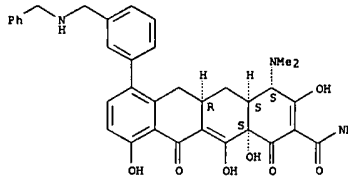
RN 389624-69-5 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 7-[3-(aminocarbonyl)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-55-9 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-[(phenylmethyl)amino]methyl]phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

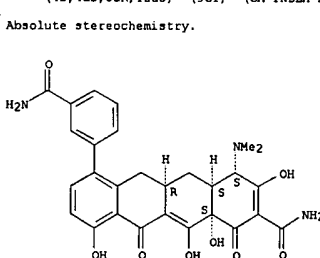
Absolute stereochemistry.



RN 389624-57-1 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-[(phenylmethyl)amino]methyl]phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

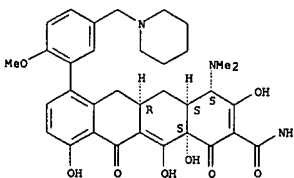
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-81-1 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[2-methoxy-5-[(1-piperidinylmethyl)amino]methyl]phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

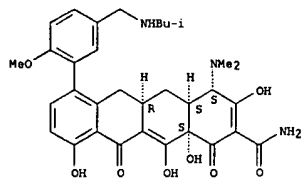
Absolute stereochemistry.



RN 389624-82-2 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-[(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[2-methoxy-5-[(2-methylpropyl)amino]methyl]phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

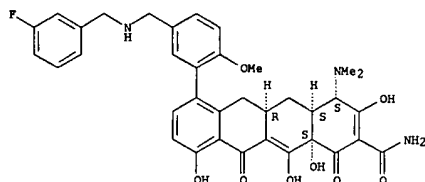
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-90-2 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-7-[[[3-(4-methoxyphenyl)methyl]amino]methyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

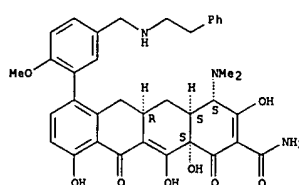
Absolute stereochemistry.



RN 389624-91-3 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[[2-methoxy-5-[(2-phenylethyl)amino]methyl]phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

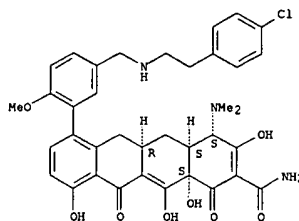
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-92-4 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 7-[[[2-(4-chlorophenyl)ethyl]amino]methyl]-2-methoxyphenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

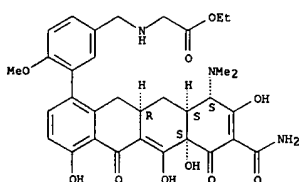
Absolute stereochemistry.



RN 389624-93-5 CAPLUS  
 CN Glycine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

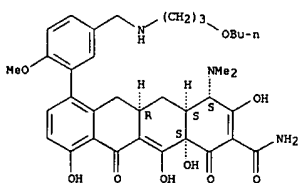
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-94-6 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 7-[[[3-(4-methoxyphenyl)amino]methyl]-2-methoxyphenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

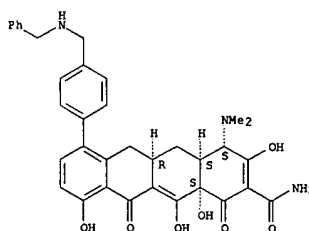
Absolute stereochemistry.



RN 389624-97-9 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[[[3-(4-methoxyphenyl)amino]methyl]-2-methoxyphenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

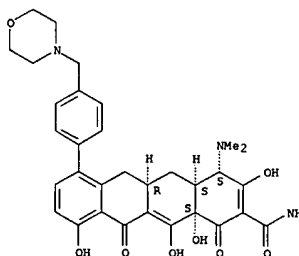
Absolute stereochemistry.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-98-0 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[[[3-(4-methoxyphenyl)amino]methyl]-2-methoxyphenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

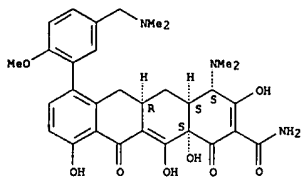
Absolute stereochemistry.



RN 389624-99-1 CAPLUS  
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-7-[[[3-(4-methoxyphenyl)amino]methyl]-2-methoxyphenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

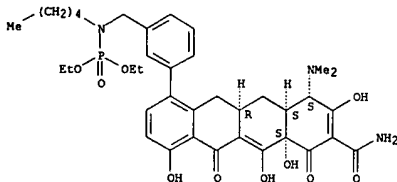
L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-02-9 CAPLUS

CN Phosphoramidic acid, [[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]phenyl]methyl]pentyl-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

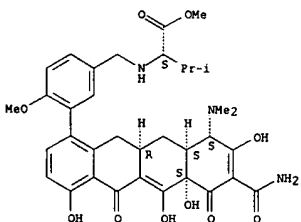


RN 389625-05-2 CAPLUS

CN Benzoic acid, 3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

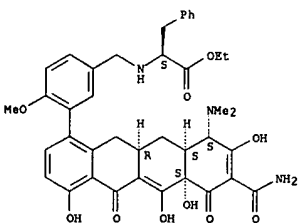
L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-09-6 CAPLUS

CN L-Phenylalanine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

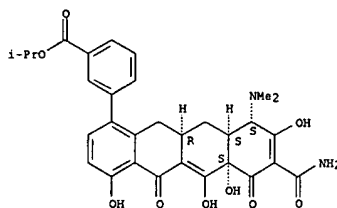


RN 389625-10-9 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-7-[5-[[[2-(dimethylamino)ethyl]amino]methyl]-2-methoxyphenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

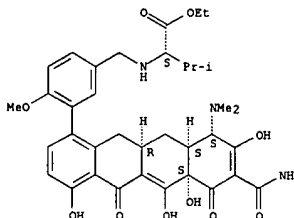
L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-07-4 CAPLUS

CN L-Valine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

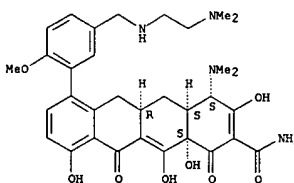


RN 389625-08-5 CAPLUS

CN L-Valine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

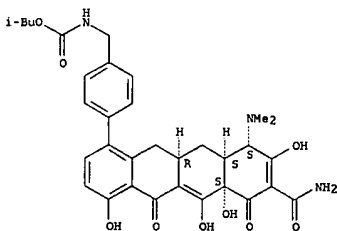
L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-12-1 CAPLUS

CN Carbamic acid, [[4-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]phenyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.





## L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:51417 CAPLUS  
 DOCUMENT NUMBER: 136:102229  
 TITLE: Preparation of 7,8 and 9-substituted tetracycline derivatives  
 INVENTOR(S): Nelson, Mark L.; Koza, Darrell  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004404	A2	20020117	WO 2001-US20558	20010629
WO 2002004404	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2002012170 A1 20020214 WO 2000-US21366 20000804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
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PRIORITY APPLN. INFO.: US 2000-216656P P 20000707  
 WO 2000-US21366 W 20000804

## OTHER SOURCE(S):

MARPAT 136:102229  
 AB The 7,8 and 9-substituted tetracycline derivs. I (R1 = H, OH; R2, R3 = H, Me, OH; R4 = H, alkenyl, alkynyl, Ph, halophenyl, acyl, phenylalkynyl, heteroaryl, dimethylamino; R5 = H, Ph, nitrophenyl, halo, alkynyl; R6 = H, amino, acetamide, alkynyl; at least one of R4, R5, and R6 is not H) and their pharmaceutically acceptable salts were as antibacterial agents. Thus, tetracycline underwent iodination with NIS to give a mixt. of 7- and 9-iodotetracycline, of which the 7- isomer was treated AsPh3 in presence of Pd(PPh3)2Cl2 and CuI to give 7-phenyltetracycline. I were screened to detn. their in vitro antibacterial min. inhibitory concn. (no data).

IT 389570-44-9P 389570-48-3P 389570-51-8P

389570-52-9P

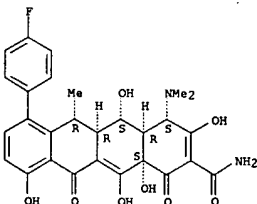
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 7,8 and 9-substituted tetracycline derivs. as antibacterial agents)

RN 389570-44-9 CAPLUS

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

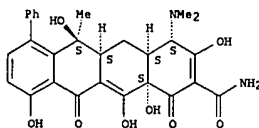
## Absolute stereochemistry.



## L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-7-phenyl-, (4S,4aS,5aS,6S,12aS)- (9CI) (CA INDEX NAME)

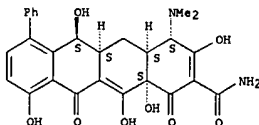
## Absolute stereochemistry.



RN 389570-48-3 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-7-phenyl-, (4S,4aS,5aS,6S,12aS)- (9CI) (CA INDEX NAME)

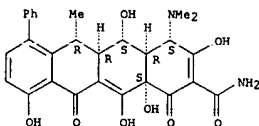
## Absolute stereochemistry.



RN 389570-51-8 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-7-phenyl-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.



RN 389570-52-9 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-

## L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:935566 CAPLUS  
 DOCUMENT NUMBER: 136:53633  
 TITLE: Preparation of 7-phenyl-substituted tetracycline compounds and methods of treating tetracycline responsive states  
 INVENTOR(S): Nelson, Mark; Rennie, Glen  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098259	A1	20011227	WO 2000-US16632	20000616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-154701P P 19990914

## OTHER SOURCE(S):

MARPAT 136:53633  
 AB 7-Phenyl-substituted tetracycline compds., such as I (R4, R4' = alkyl; R5 = H, OH or prodrug moiety; R6, R6' = independently H, OH, alkyl, or taken together, alkenyl; R7 = (substituted)phenyl), and pharmaceutically acceptable salts thereof, are prepd. Thus, 7-phenylsancycline I (R4, R4' = Me; R5, R6, R6' = H; R7 = Ph) was produced from sancycline I (R4, R4' = Me; R5, R6, R6' = H; R7 = H) through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with phenylboronic acid in a combined 42% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of I against common bacteria is described (no data). Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

IT 263760-96-9P, 7-Phenylsancycline 263760-98-1P,

7-(4-Fluorophenyl)sancycline 263760-99-2P, 7-(4-

Chlorophenyl)sancycline 330627-26-4P, 7,9-Diphenylsancycline

380435-64-3P, 7-(2-Fluorophenyl)sancycline 380435-65-4P,

7-(2-Chlorophenyl)sancycline 380435-66-5P, 7-(2-

Bromophenyl)sancycline 380435-67-6P, 7-(2-Iodophenyl)sancycline

380435-68-7P, 7-(3-Fluorophenyl)sancycline 380435-69-8P,

7-(3-Chlorophenyl)sancycline 380435-70-9P, 7-(3-

Bromophenyl)sancycline 380435-72-3P, 7-(3-Iodophenyl)sancycline

380435-73-4P, 7-(4-Bromophenyl)sancycline 380435-74-5P,

7-(4-Iodophenyl)sancycline 380435-75-6P, 7-(4-

Trichloromethylphenyl)sancycline 380435-76-7P,

7-(4-Trifluoromethylphenyl)sancycline 380435-77-8P,

7-(4-Tribromomethylphenyl)sancycline 380435-78-9P,

7-(4-Triiodomethylphenyl)sancycline

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

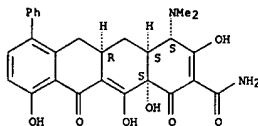
(prepn. of 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states)

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 263760-96-9 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

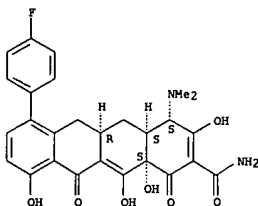
Absolute stereochemistry.



RN 263760-98-1 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263760-99-2 CAPLUS

CN 2-Naphthacene-carboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

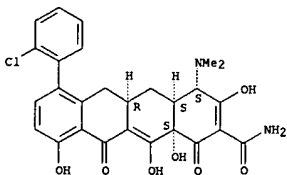
Absolute stereochemistry.

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 380435-65-4 CAPLUS

CN 2-Naphthacene-carboxamide, 7-(2-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

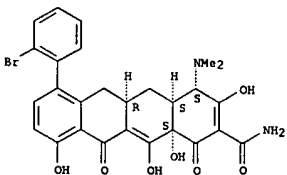
Absolute stereochemistry.



RN 380435-66-5 CAPLUS

CN 2-Naphthacene-carboxamide, 7-(2-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

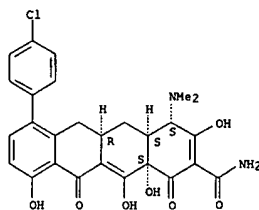


RN 380435-67-6 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(2-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

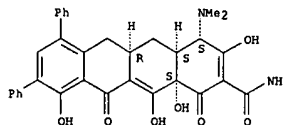
L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 330627-26-4 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

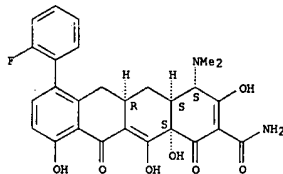
Absolute stereochemistry.



RN 380435-64-3 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-7-(2-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

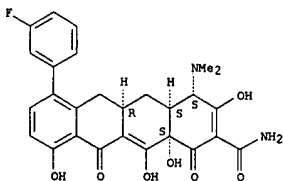


L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 380435-68-7 CAPLUS

CN 2-Naphthacene-carboxamide, 4-(dimethylamino)-7-(3-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

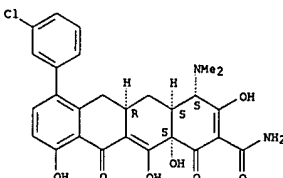
Absolute stereochemistry.



RN 380435-69-8 CAPLUS

CN 2-Naphthacene-carboxamide, 7-(3-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

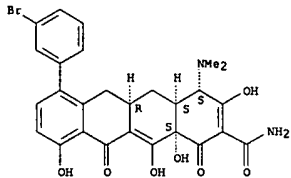
Absolute stereochemistry.



RN 380435-70-1 CAPLUS

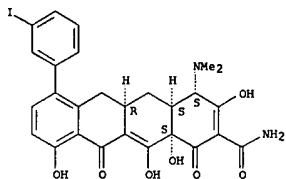
L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 CN 2-Naphthacene-3-carboxamide, 7-(3-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-72-3 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

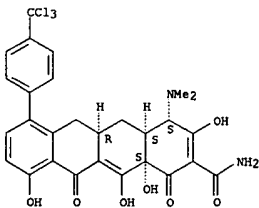
Absolute stereochemistry.



RN 380435-73-4 CAPLUS  
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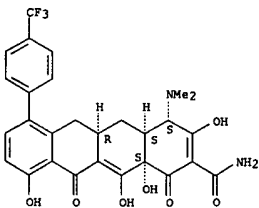
Absolute stereochemistry.

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-76-7 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trifluoromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

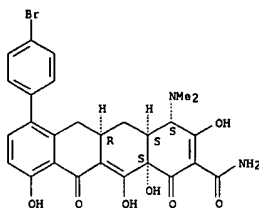
Absolute stereochemistry.



RN 380435-77-8 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(tribromomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

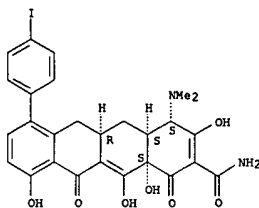
Absolute stereochemistry.

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-74-5 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

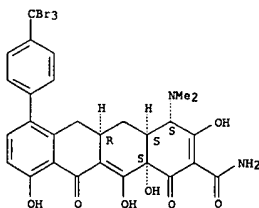
Absolute stereochemistry.



RN 380435-75-6 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trichloromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

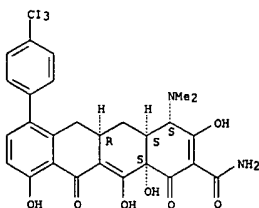
Absolute stereochemistry.

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-78-9 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(tribromomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:93545 CAPLUS  
 DOCUMENT NUMBER: 136:37446  
 TITLE: 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states  
 INVENTOR(S): Nelson, Mark; Ismail, Mohamed Y.  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098236	A2	20011227	WO 2001-US19286	20010615
WO 2001098236	A3	20020328		

W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

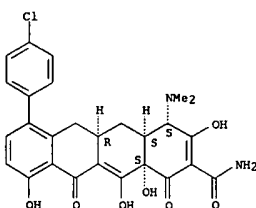
PRIORITY APPL. INFO.: US 2000-212030P F 20000616  
 US 2000-212471P F 20000616

OTHER SOURCE(S): MARPAT 136:37446  
 AB 7-Phenyl-substituted tetracycline compds. of formula I [R1, R2 = alkyl; R3 = H, OH or prodrug moiety; R4, R5 = independently H, OH, alkyl, or, taken together, alkenyl; R6 = (substituted)phenyl] which are substantially free of positional isomers, are prepd. Thus, 7-(3-nitrophenyl)sanclycine (II) was produced from sanclycine through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with 3-nitrophenylboronic acid in a combined 32% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of tetracycline compds. against common bacteria (no data) is described. Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

IT 263760-96-9P 263760-98-1P 263760-99-2P  
 263761-02-0P 330627-26-4P 380435-62-1P  
 380435-63-2P 380435-64-3P 380435-65-4P  
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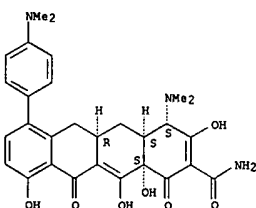
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (7-phenyl-substituted tetracycline compds. and methods of treating

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



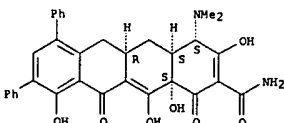
RN 263761-02-0 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-(dimethylamino)phenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330627-26-4 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

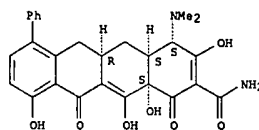
Absolute stereochemistry.



L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

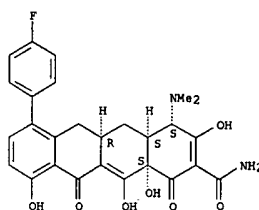
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Absolute stereochemistry.



RN 263760-98-1 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



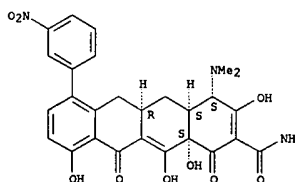
RN 263760-99-2 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

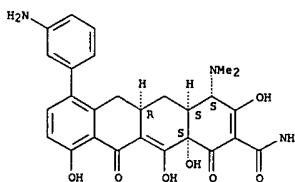
RN 380435-62-1 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-63-2 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-(3-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

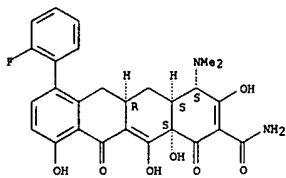
Absolute stereochemistry.



RN 380435-64-3 CAPLUS  
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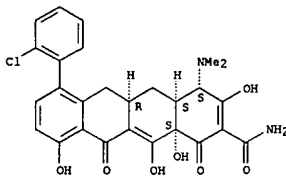
Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



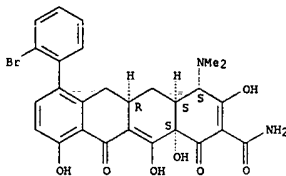
RN 380435-65-4 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

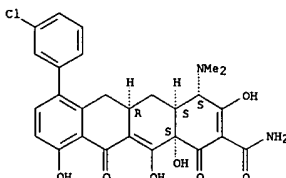


RN 380435-66-5 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 7-(2-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

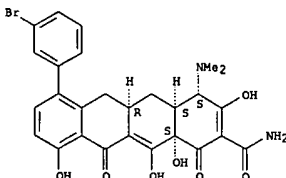


L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



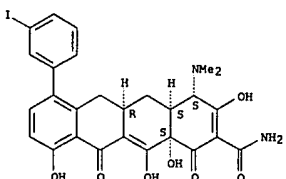
RN 380435-70-1 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 7-(3-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-72-3 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

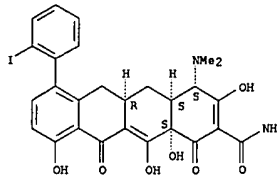
Absolute stereochemistry.



L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

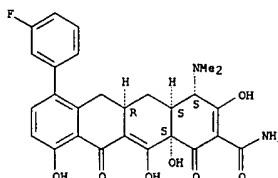
RN 380435-67-6 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(2-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-68-7 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-7-(3-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



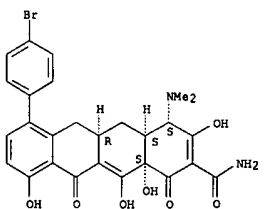
RN 380435-69-8 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-7-(5-chlorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

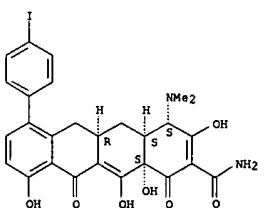
RN 380435-73-4 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 7-(4-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-74-5 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

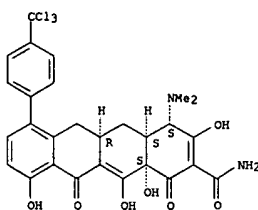
Absolute stereochemistry.



RN 380435-75-6 CAPLUS  
CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[4-(trichloromethyl)phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

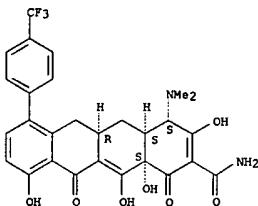
Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-76-7 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trifluoromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

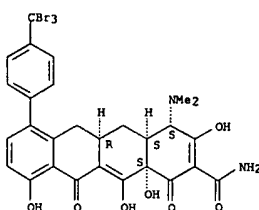
Absolute stereochemistry.



RN 380435-77-8 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(tribromomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

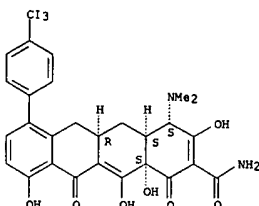
Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-78-9 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(triiodomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

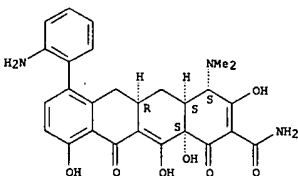
Absolute stereochemistry.



RN 380435-79-0 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 7-(2-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

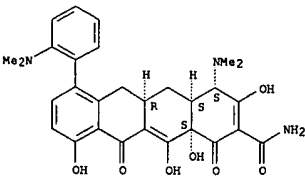
Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



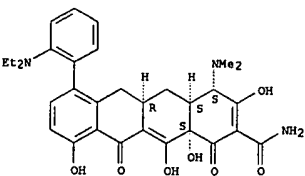
RN 380435-80-3 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-7-[2-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-81-4 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 7-[2-(diethylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

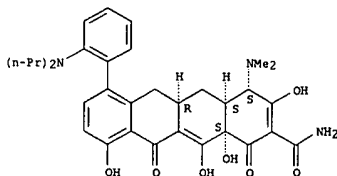


RN 380435-82-5 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-7-[2-(diethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

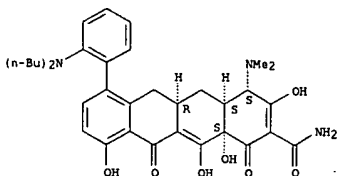
(4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



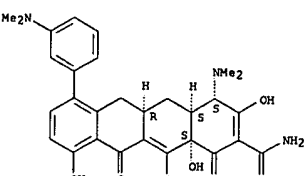
RN 380435-83-6 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 7-[2-(n-propylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-84-7 CAPLUS  
 CN 2-Naphthacene-3-carboxamide, 4-(dimethylamino)-7-[3-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

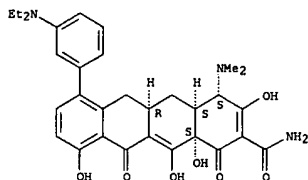
Absolute stereochemistry.



L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

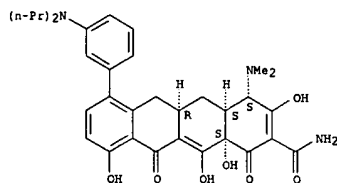
RN 380435-85-8 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-[3-(diethylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-86-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[3-(dipropylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

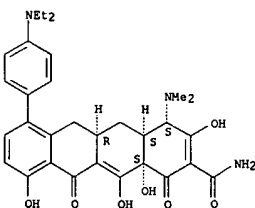
Absolute stereochemistry.



RN 380435-87-0 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-[3-(dibutylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

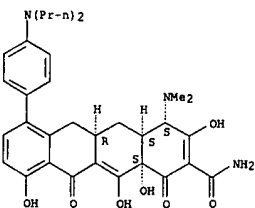
Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-91-6 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dipropylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

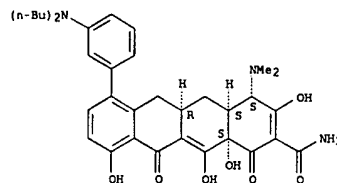
Absolute stereochemistry.



RN 380435-92-7 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-[4-(dibutylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

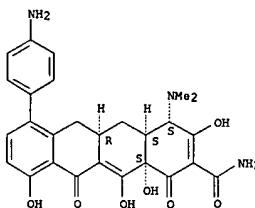
Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-88-1 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-(4-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

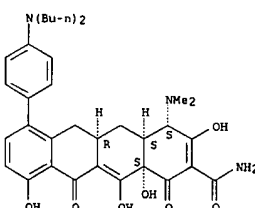
Absolute stereochemistry.



RN 380435-89-2 CAPLUS  
 CN 2-Naphthacenecarboxamide, 7-[4-(diethylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

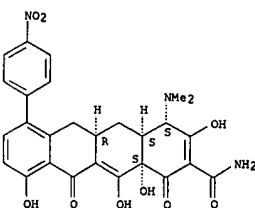
L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT 263761-01-9 380435-93-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states)

RN 263761-01-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

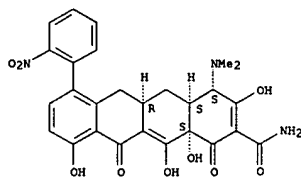
Absolute stereochemistry.



RN 380435-93-8 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(2-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:208235 CAPLUS  
 DOCUMENT NUMBER: 134:252206  
 TITLE: Methods of preparing substituted tetracyclines with transition metal-based chemistries  
 INVENTOR(S): Nelson, Mark L.; Rennie, Glen; Koza, Darrell J.  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019784	A1	20010322	WO 2000-US25040	20000913

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:  
 US 1999-154701P P 19990914  
 US 2000-232091P P 20000912

OTHER SOURCE(S): CASREACT 134:252206; MARPAT 134:252206

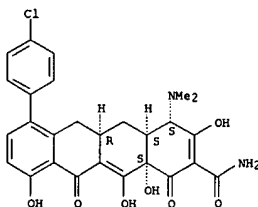
AB Substituted tetracycline derivatives were prepd. by combining a reactive tetracycline-based precursor and a reactive org. substituent precursor in the presence of a transition metal catalyst. In one embodiment of the invention, a substituted tetracycline compd. may be prepd. by combining a reactive tetracycline-based precursor compd. such as an arene tetracycline diazonium salt, and a reactive org. substituent precursor, e.g., alkenes, substituted alkenes, vinyl monomers, aroms. and heteroatoms., in the presence of a transition metal catalyst, such as palladium chloride, under conditions such that a tetracycline compd. substituted with the org. substituent is formed. Such compds. may optionally act as intermediates for making other compds., e.g., hydrogenation of unsatd. groups on the substituent. Thus, sancycline-HCl was treated with N-iodosuccinimide in concd. H2SO4 to give 61% 7-iodosancycline and 22% 7,9-diodosancycline. 7-iodosancycline was added to a degassed soln. of MeOH contg. Na2CO3 and Pd(OAc)2 and then 4-chlorophenylbromide added to give 7-(4-chlorophenyl)sancycline (1). Antibacterial activity of several derivs. was tabulated.

IT 263760-99-2P 263762-26-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (methods of prep. substituted tetracyclines with transition metal-based chemistries)

RN 263760-99-2 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

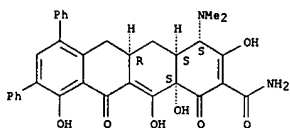
L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.



RN 330627-26-4 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7,9-diphenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

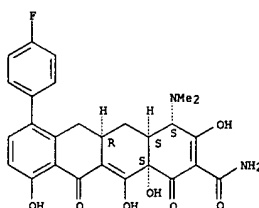


IT 263760-98-1P 263761-01-9P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (methods of prep. substituted tetracyclines with transition metal-based chemistries)

RN 263760-98-1 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

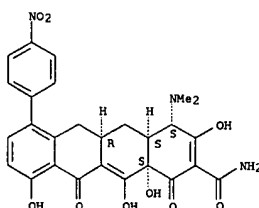
Absolute stereochemistry.

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 263761-01-9 CAPLUS  
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2000:137899 CAPLUS  
 DOCUMENT NUMBER: 132:279036  
 TITLE: Synthesis of 7-Substituted Tetracycline Derivatives  
 AUTHOR(S): Koza, Darrell J.  
 CORPORATE SOURCE: Department of Science and Allied Health, Mount Ida College, Newton, MA, 02459, USA  
 SOURCE: Organic Letters (2000), 2(6), 815-817  
 CODEN: ORLEF7; ISSN: 1523-7060  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The synthesis of 7-substituted tetracycline derivs. has been accomplished in high yield from 7-halotetracyclines by modified Suzuki and Stille coupling protocols. These novel derivs. may serve as a new class of tetracycline antibiotics effective against multi-antibiotic-resistant bacteria.

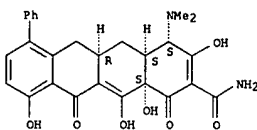
IT 263760-96-9P 263760-98-1P 263760-99-2P

263761-01-9P 263761-02-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of 7-substituted tetracycline derivs.)

RN 263760-96-9 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

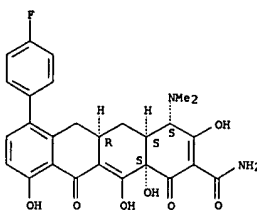


RN 263760-98-1 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

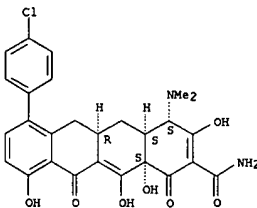
L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 263760-99-2 CAPLUS

CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

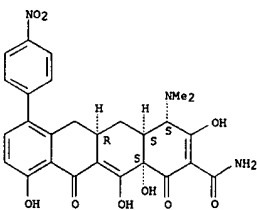


RN 263761-01-9 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

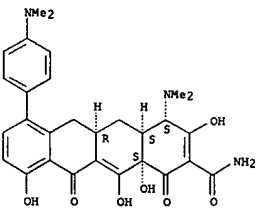
L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 263761-02-0 CAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Page 18

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L10 ANSWER 1 OF 5 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 136:102232 MARPAT  
 TITLE: Preparation of 7-substituted tetracycline derivatives for pharmaceutical use as antibacterial agents  
 INVENTOR(S): Nelson, Mark L.; Frechette, Roger; Viski, Peter; Ismail, Mohamed; Bowser, Todd; Bhatia, Beena; Messersmith, David; McIntyre, Laura; Koza, Darrell; Rennie, Glen; Sheahan, Paul; Hawkins, Paul; Verma, Atul; Warchol, Tadi; Bandarage, Upul  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA; Paratek Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 97 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

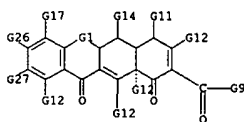
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004407	A2	20020117	WO 2001-US20766	20010629
WO 2002004407	A3	20020404		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-216760P 20000707  
 US 2001-275576P 20010313

AB 7-Substituted tetracycline derivs., such as I [R7 = NO2, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio, alkylsulfanyl, alkylsulfonyl, alkylamino, arylalkyl, amino, arylalkenyl, arylalkynyl, aminoalkyl, etc.], were prepd. for therapeutic use as antibacterial agents. Thus, 7-phenylsancycline I (R7 = Ph) was prepd. in 42% yield by arom. coupling reaction of 7-iodosancycline I (R7 = iodo) with PhB(OH)2 using Pd(OAc)2 and Na2CO3 in MeOH under an argon atm. at r.t. for 2 h. The prepd. tetracycline derivs. were tested for antibacterial activity against Escherichia coli, Enterococcus hirae, and Staphylococcus aureus.

MSTR 1



G1 = 21

L10 ANSWER 1 OF 5 MARPAT COPYRIGHT 2002 ACS (Continued)



G9 = NH2  
 G10 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO (1-) G6)  
 G11 = S1



G12 = OH  
 G17 = Ph (SO (1-) G29)  
 MPL: claim 1  
 NTE: and pharmaceutically acceptable salts

L10 ANSWER 2 OF 5 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 136:102229 MARPAT  
 TITLE: Preparation of 7,8 and 9-substituted tetracycline derivatives  
 INVENTOR(S): Nelson, Mark L.; Koza, Darrell  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 26 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004404	A2	20020117	WO 2001-US20558	20010629
WO 2002004404	A3	20020613		

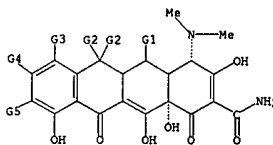
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-216656P 20000707  
 WO 2000-US21366 20000804

AB The 7,8 and 9-substituted tetracycline derivs. I (R1 = H, OH; R2, R3 = H, Me, OH; R4 = H, alkenyl, alkynyl, Ph, halophenyl, acyl, phenylalkynyl, heteroaryl, dimethylamino; R5 = H, Ph, nitrophenyl, halo, alkynyl; R6 = H, amino, acetamide, alkynyl; at least one of R4, R5, and R6 is not H) and their pharmaceutically acceptable salts were as antibacterial agents. Thus, tetracycline underwent iodination with IIS to give a mixt. of 7- and 9-iodotetracycline, of which the 7- isomer was treated AsPh3 in presence of Pd(PPh3)2Cl2 and CuI to give 7-phenyltetracycline. I were screened to detn. their in vitro antibacterial min. inhibitory concn. (no data).

MSTR 1

L10 ANSWER 2 OF 5 MARPAT COPYRIGHT 2002 ACS (Continued)



G3 = Ph (SO (1-) G6)  
 MPL: claim 1  
 NTE: and pharmaceutically acceptable salts

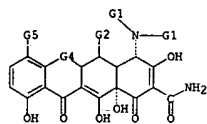
L10 ANSWER 3 OF 5 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 136:53633 MARPAT  
 TITLE: Preparation of 7-phenyl-substituted tetracycline compounds and methods of treating tetracycline responsive states  
 INVENTOR(S): Nelson, Mark; Rennie, Glen  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098259	A1	20011227	WO 2000-US16632	20000616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GV, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-154701P 19990914  
 AB 7-Phenyl-substituted tetracycline compds., such as I (R4, R4' = alkyl; R5 = H, OH or prodrug moiety; R6, R6' = independently H, OH, alkyl, or taken together, alkenyl; R7 = (substituted)phenyl), and pharmaceutically acceptable salts thereof, are prepd. Thus, 7-phenylsancycline I (R4, R4' = Me; R5, R6, R6' = H; R7 = Ph) was produced from sancycline I (R4, R4' = Me; R5, R6, R6', R7 = H) through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with phenylboronic acid in a combined 42% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of I against common bacteria is described (no data). Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

MYSTR 1



G1 = Me  
 G4 = 80

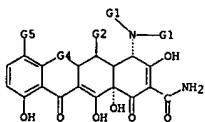
L10 ANSWER 4 OF 5 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 136:37446 MARPAT  
 TITLE: 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states  
 INVENTOR(S): Nelson, Mark; Ismail, Mohamed Y.  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098236	A2	20011227	WO 2001-US19286	20010615
WO 2001098236	A3	20020328		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GV, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-212030P 20000616  
 US 2000-212471P 20000616  
 AB 7-Phenyl-substituted tetracycline compds. of formula I (R1, R2 = alkyl; R3 = H, OH or prodrug moiety; R4, R5 = independently H, OH, alkyl, or taken together, alkenyl; R6 = (substituted)phenyl) which are substantially free of positional isomers, are prepd. Thus, 7-(3-nitrophenyl)sancycline (II) was produced from sancycline through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with 3-nitrophenylboronic acid in a combined 32% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of tetracycline compds. against common bacteria (no data) is described. Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

MYSTR 1



G1 = Me  
 G4 = 80



L10 ANSWER 3 OF 5 MARPAT COPYRIGHT 2002 ACS (Continued)



G5 = Ph (SO (1-)) G6)  
 MPL: claim 1  
 NTE: and pharmaceutically acceptable salts

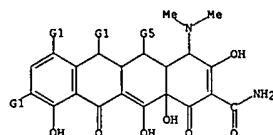
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 5 MARPAT COPYRIGHT 2002 ACS (Continued)

G5 = Ph (SO (1-)) G6)  
 MPL: claim 1  
 NTE: and pharmaceutically acceptable salts

L10	ANSWER 5 OF 5	MARPAT	COPYRIGHT 2002 ACS
ACCESSION NUMBER:	134:252206	MARPAT	
TITLE:	Methods of preparing substituted tetracyclines with transition metal-based chemistries		
INVENTOR(S):	Nelson, Mark L.; Rennie, Glen; Koza, Darrell J.		
PATENT ASSIGNEE(S):	Trustees of Tufts College, USA		
SOURCE:	PCT Int. Appl., 46 pp.		
	CODEN: PIXKD2		
DOCUMENT TYPE:	Patent		
LANGUAGE:	English		
FAMILY ACC. NUM. COUNT:	2		
PATENT INFORMATION:			

L10 ANSWER 5 OF 5 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 - Ph  
MPL: disclosure

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019784	A1	20010322	WO 2000-0255040	20000913
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RW:	GH, GM, KE, LS, MG, SD, SI, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZW, ZM			
PRIORITY APPLM. INFO.:			US 1999-154701P	19990914

OTHER SOURCE(S): CASREACT 134:2520; US 2000-232019 20000912

AB Substituted tetracycline derivatives were prepared by combining a reactive tetracycline-based precursor and a reactive org. substituent precursor in the presence of a transition metal catalyst. In one embodiment of the invention, a substituted tetracycline compd. may be prep'd by combining a reactive tetracycline-based precursor compd. such as an arene tetracycline diazonium salt, and a reactive org. substituent precursor, e.g., alkenes, substituted alkenes, vinyl monomers, aroms, and heteroaroms, in the presence of a transition metal catalyst such as PdCl<sub>2</sub>, under conditions such that a tetracycline compd. substituted with the org. substituent is formed. Such compds. may optionally act as intermediates for making other compds., e.g., hydrogenation of unsat'd groups on the substituent. Thus, sancylicine-HCl was treated with N-Iodosuccinimide in conc'd. H<sub>2</sub>SO<sub>4</sub> to give 611 7-Iodosancylicine and 221 7,8-diodosancylicine. 7-Iodosancylicine was added to a degassed soln. of MeOH conc'd. Na<sub>2</sub>CO<sub>3</sub> and NaOH to give 612 and 222. A chlorophenylphenylbromic acid to give 7-(4-chlorophenyl)sancylicine (1). Antibacterial activity of several derivs. was tabulated.

## NOTES

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(FILE 'HOME' ENTERED AT 14:05:08 ON 06 AUG 2002)

FILE 'REGISTRY' ENTERED AT 14:05:48 ON 06 AUG 2002

L1 STRUCTURE UPLOADED

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L4 1 S L3

FILE 'REGISTRY' ENTERED AT 14:08:10 ON 06 AUG 2002

L5 STRUCTURE UPLOADED

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FILE 'USPATFULL' ENTERED AT 14:11:10 ON 06 AUG 2002

L7 0 S L6

FILE 'CAPLUS' ENTERED AT 14:11:22 ON 06 AUG 2002

L8 6 S L6

FILE 'MARPAT' ENTERED AT 14:13:35 ON 06 AUG 2002

L9 1 S L6

L10 5 S L6 FULL

FILE 'BEILSTEIN' ENTERED AT 14:15:25 ON 06 AUG 2002

L11 0 S L6

=> d his

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L1 STRUCTURE UPLOADED

L2 3 S L1

L3 88 S L1 FULL

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L4 1 S L3

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L5 STRUCTURE UPLOADED

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FILE 'CAPLUS' ENTERED AT 14:11:22 ON 06 AUG 2002

L8 6 S L6

FILE 'MARPAT' ENTERED AT 14:13:35 ON 06 AUG 2002

L9 1 S L6

L10 5 S L6 FULL

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L11 0 S L6

